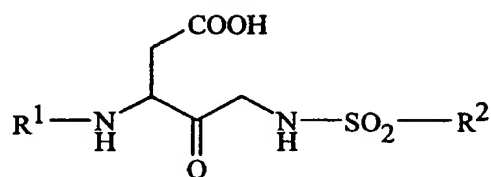


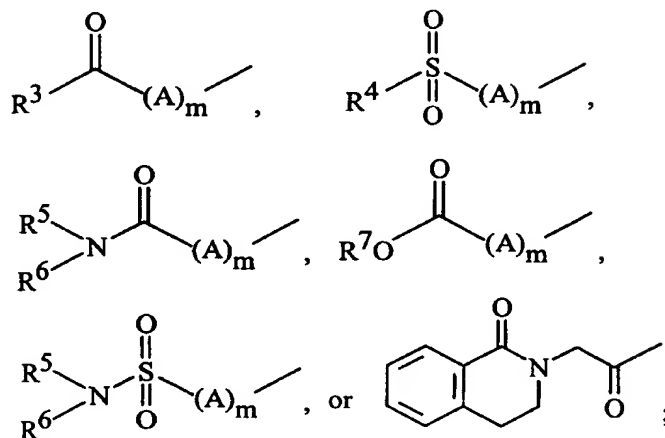
CLAIMS

1. A compound of Formula I



I

wherein R¹ is



R³ is hydrogen,

C₁-C₆ alkyl,

-(CH₂)_n aryl, or

-(CH₂)_n heteroaryl;

R⁴ is C₁-C₆ alkyl,

-(CH₂)_n aryl, or

-(CH₂)_n heteroaryl;

R⁵ and R⁶ are each independently hydrogen,

C₁-C₆ alkyl,

-(CH₂)_n aryl, or

-(CH₂)_n heteroaryl;

R⁷ is C₁-C₆ alkyl,

-(CH₂)_n aryl, or

-(CH₂)_n heteroaryl;

5

each n is independently 0 to 6;

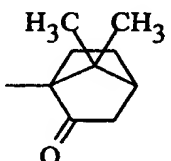
each m is independently 0, 1, 2, or 3;

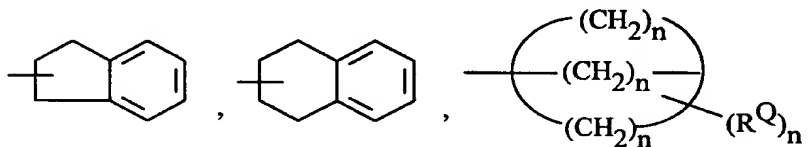
A is alanine, leucine, isoleucine, proline, phenylalanine, glycine, tyrosine, serine, threonine, tryptophan, cysteine, methionine, valine, asparagine, glutamine, aspartic acid, lysine, glutamic acid, arginine, or histidine;

10

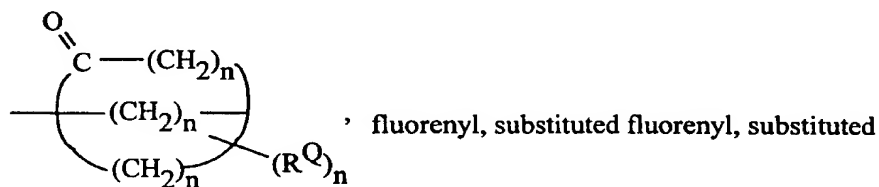
each R^Q is independently hydrogen or C₁-C₆ alkyl;

R² is -(CH₂)_n-Z; and

Z is aryl, heteroaryl, cycloalkyl, C₁-C₆alkyl, ,



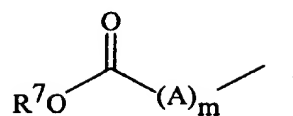
15



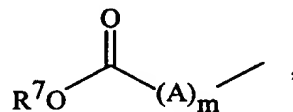
fluorenyl, substituted fluorenyl, substituted aryl, substituted heteroaryl, or substituted cycloalkyl, and the pharmaceutically acceptable salts, esters, amides, and prodrugs thereof.

2. A compound according to Claim 1 wherein R¹ is

-39-

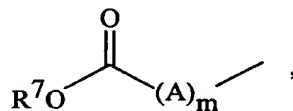


3. A compound according to Claim 1 wherein R^1 is



m is 0, and R^7 is $-(\text{CH}_2)_n$ aryl.

- 5 4. A compound according to Claim 1 wherein R^1 is



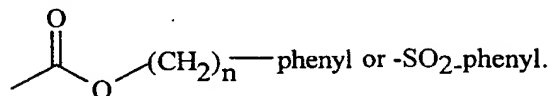
m is 0, and R^7 is $-\text{CH}_2$ aryl.

5. A compound according to Claim 1 wherein R^2 is $-(\text{CH}_2)_n$ aryl.

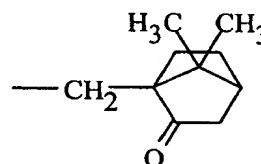
6. A compound according to Claim 5 wherein aryl is phenyl or naphthyl.

- 10 7. A compound according to Claim 1 wherein R^2 is $-(\text{CH}_2)_n$ -cycloalkyl.

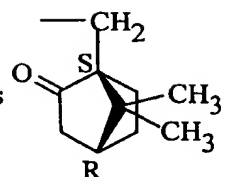
8. A compound according to Claim 1 wherein R^1



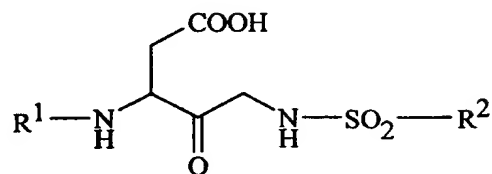
9. A compound according to Claim 1 wherein R^2 is $-\text{CH}_2-$



10. A compound according to Claim 1 wherein R² is



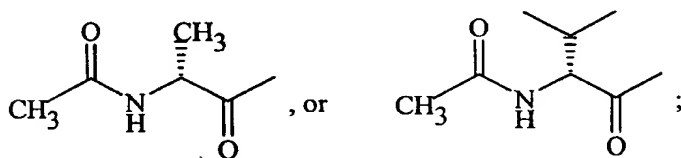
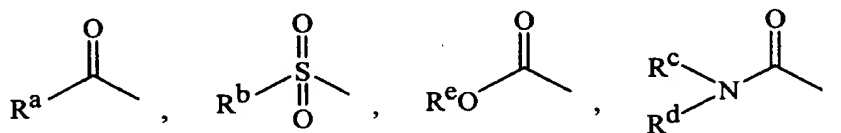
11. A compound of the Formula I



I

wherein R² is -CH₂CH₂- aryl, -CH₂- cycloalkyl, -CH₂CH₂- cycloalkyl, or
-CH₂CH₂- heteroaryl;

R¹ is



R^a is -(CH₂)_n- aryl or -(CH₂)_n heteroaryl;

R^b is aryl or heteroaryl;

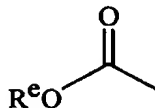
R^c is -CH₂ aryl or aryl;

R^d is hydrogen or C₁-C₆ alkyl;

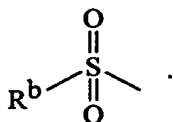
R^e is -CH₂ aryl or -CH₂ heteroaryl; and the pharmaceutically acceptable salts, esters, amides, and prodrugs thereof.

-41-

12. A compound according to Claim 11 wherein R^1 is



13. A compound according to Claim 11 wherein R^1 is



- 5 14. A compound according to Claim 11 wherein R^e is $-(CH_2)_n$ aryl.
15. A compound according to Claim 14 wherein aryl is phenyl or naphthyl.
16. A compound according to Claim 13 wherein R^b is aryl.
17. A compound according to Claim 16 wherein aryl is phenyl.
18. The compounds:
- 10 3-Benzyloxycarbonylamino-4-oxo-5-(2-phenylethanesulfonylamino)-pentanoic acid;
- 3-Benzyloxycarbonylamino-4-oxo-5-(3-phenyl-propane-1-sulfonylamino)-pentanoic acid;
- 15 3-Benzyloxycarbonylamino-4-oxo-5-phenylmethanesulfonylamino-pentanoic acid;
- 5-Benzenesulfonylamino-3-benzyloxycarbonylamino-4-oxo-pentanoic acid;
- 3-Benzyloxycarbonylamino-5-methanesulfonylamino-4-oxo-pentanoic acid;
- 20 3-Benzyloxycarbonylamino-5-(naphthalene-1-sulfonylamino)-4-oxo-pentanoic acid;

09964114-092501

3-Benzoyloxycarbonylamino-5-(2-cyclohexyl-ethanesulfonylamino)-4-oxo-pentanoic acid;

3-Benzoyloxycarbonylamino-5-(2-naphthalen-1-yl-ethanesulfonylamino)-4-oxo-pentanoic acid;

5 3-Benzoyloxycarbonylamino-5-(7,7-dimethyl-2-oxo-bicyclo[2.2.1]hept-1-(R)-ylmethanesulfonylamino)-4-oxo-pentanoic acid;

3-Benzoyloxycarbonylamino-5-(indan-1-ylmethanesulfonylamino)-4-oxo-pentanoic acid;

10 3-Benzoyloxycarbonylamino-5-(9-fluoro-9H-fluoren-9-ylmethanesulfonylamino)-4-oxo-pentanoic acid;

3-Benzoyloxycarbonylamino-5-(7,7-dimethyl-2-oxo-bicyclo[2.2.1]hept-1-(S)-ylmethanesulfonylamino)-4-oxo-pentanoic acid;

3-(2-Acetylamino-3-methyl-butyrylamino)-5-(7,7-dimethyl-2-oxo-bicyclo[2.2.1]hept-1-(S)-ylmethanesulfonylamino)-4-oxo-pentanoic acid;

15 3-(2-Acetylamino-propylamino)-5-(7,7-dimethyl-2-oxo-bicyclo[2.2.1]hept-1-(S)-ylmethanesulfonylamino)-4-oxo-pentanoic acid;

3-(1,2,3,4-tetrahydro-1-oxo-isoquinoline-2-yl)-acetanino-5-benzenesulfonylamino-4-oxo-pentanoic acid;

20 (S)-5-(Bicyclo[2.2.1]hept-1-ylmethanesulfonylamino)-4-oxo-3-[2-(1-oxo-3,4-dihydro-1H-isoquinolin-2-yl)-acetylamino]-pentanoic acid;

(S)- 4-Oxo-3-[2-(1-oxo-3,4-dihydro-1H-isoquinolin-2-yl)-acetylamino]-5-(2-phenyl-ethanesulfonylamino)-pentanoic acid; and

4-Oxo-3-[2-(1-oxo-3,4-dihydro-1H-isoquinolin-2-yl)-acetylamino]-5-phenylmethanesulfonylamino-pentanoic acid.

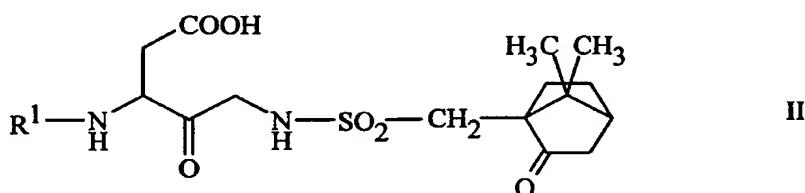
- 25 19. A method of inhibiting interleukin-1 β converting enzyme, the method comprising administering to a patient in need of inhibition of interleukin-1 β converting enzyme a therapeutically effective amount of a compound of Claim 1.

20. A method of inhibiting Caspase-4, the method comprising administering to a patient in need of Caspase-4 inhibition a Caspase-4 inhibiting amount of a compound of Claim 1.
- 5 21. A method of treating or preventing stroke, the method comprising administering to a patient having a stroke or having had a stroke a therapeutically effective amount of a compound of Claim 1.
22. A method of treating inflammatory diseases, the method comprising administering to a patient having an inflammatory disease a therapeutically effective amount of a compound of Claim 1.
- 10 23. The method of Claim 22 wherein the inflammatory disease is arthritis.
24. The method of Claim 22 wherein the inflammatory disease inflammatory bowel disease.
25. A pharmaceutically acceptable composition that contains a compound of Claim 1.
- 15 26. A method of inhibiting interleukin-1 β converting enzyme, the method comprising administering to a patient in need of inhibition of interleukin-1 β converting enzyme a therapeutically effective amount of a compound of Claim 11.
- 20 27. A method of inhibiting Caspase-4, the method comprising administering to a patient in need of Caspase-4 inhibition a Caspase-4 inhibiting amount of a compound of Claim 11.
28. A method of treating or preventing stroke, the method comprising administering to a patient having a stroke or having had a stroke a therapeutically effective amount of a compound of Claim 11.

09964114-092501

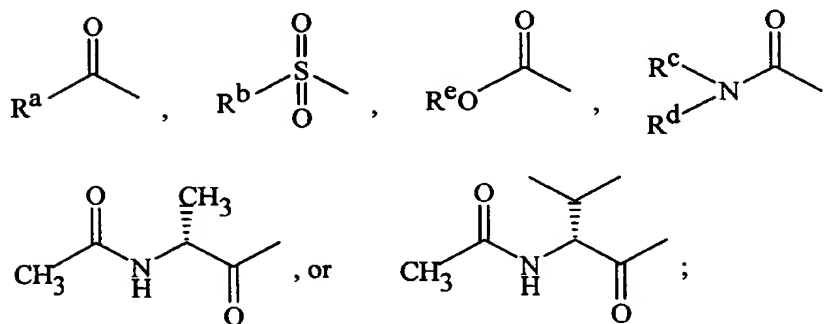
29. A method of treating inflammatory diseases, the method comprising administering to a patient having an inflammatory disease a therapeutically effective amount of a compound of Claim 11.
30. The method of Claim 29 wherein the inflammatory disease is arthritis.
- 5 31. The method of Claim 29 wherein the inflammatory disease is inflammatory bowel disease.
32. A pharmaceutically acceptable composition that contains a compound of Claim 11.
- 10 33. A method of treating septic shock, the method comprising administering to a patient having septic shock a therapeutically effective amount of a compound of Claim 1.
34. A method of treating septic shock, the method comprising administering to a patient having septic shock a therapeutically effective amount of a compound of Claim 11.
- 15 35. A method of treating reperfusion injury, the method of comprising administering to a patient having reperfusion injury a therapeutically effective amount of a compound of Claim 1.
36. A method of treating reperfusion injury, the method of comprising administering to a patient having reperfusion injury a therapeutically effective amount of a compound of Claim 11.
- 20 37. A method of treating Alzheimer's disease, the method comprising administering to a patient having Alzheimer's disease a therapeutically effective amount of a compound of Claim 1.

38. A method of treating Alzheimer's disease, the method comprising administering to a patient having Alzheimer's disease a therapeutically effective amount of a compound of Claim 11.
39. A method of treating shigellosis, the method comprising administering to a patient having shigellosis a therapeutically effective amount of a compound of Claim 1.
40. A method of treating shigellosis, the method comprising administering to a patient having shigellosis a therapeutically effective amount of a compound of Claim 11.
41. A compound of the Formula II



wherein

R¹ is



R^a is $-(CH_2)_n$ - aryl or $-(CH_2)_n$ heteroaryl;

R^b is aryl or heteroaryl;

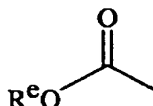
R^c is $-CH_2$ aryl or aryl;

-46-

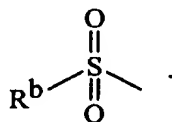
R^d is hydrogen or C_1 - C_6 alkyl;

R^e is $-CH_2$ aryl or $-CH_2$ heteroaryl; and the pharmaceutically acceptable salts, esters, amides, and prodrugs thereof.

42. A compound according to Claim 41 wherein R^1 is



43. A compound according to Claim 41 wherein R^1 is



44. A compound according to Claim 41 wherein R^e is $-(CH_2)_n$ aryl.

45. A compound according to Claim 41 wherein aryl is phenyl or naphthyl.

- 10 46. A compound according to Claim 41 wherein R^b is aryl.

47. A compound according to Claim 46 wherein aryl is phenyl.

- 15 48. A method of inhibiting interleukin- 1β converting enzyme, the method comprising administering to a patient in need of inhibition of interleukin- 1β converting enzyme a therapeutically effective amount of a compound of Claim 41.

49. A method of inhibiting Caspase-4, the method comprising administering to a patient in need of Caspase-4 inhibition a Caspase-4 inhibiting amount of a compound of Claim 41.

T05260-4149660

50. A method of treating or preventing stroke, the method comprising administering to a patient having a stroke or having had a stroke a therapeutically effective amount of a compound of Claim 41.
51. A method of treating inflammatory diseases, the method comprising administering to a patient having an inflammatory disease a therapeutically effective amount of a compound of Claim 41.
52. The method of Claim 51 wherein the inflammatory disease is arthritis.
53. The method of Claim 51 wherein the inflammatory disease inflammatory bowel disease.
54. A method of treating septic shock, the method comprising administering to a patient having septic shock a therapeutically effective amount of a compound of Claim 41.
55. A method of treating reperfusion injury, the method of comprising administering to a patient having reperfusion injury a therapeutically effective amount of a compound of Claim 41.
56. A method of treating Alzheimer's disease, the method comprising administering to a patient having Alzheimer's disease a therapeutically effective amount of a compound of Claim 41.
57. A method of treating shigellosis, the method comprising administering to a patient having shigellosis a therapeutically effective amount of a compound of Claim 41.
58. The compounds:
3-[2-(2-Benzoyloxycarbonylamino-3-methyl-butyrylamino)-propionylamino]-4-oxo-5-(2-phenyl-ethanesulfonylamino)-pentanoic acid;

3-[2-(2-Benzoyloxycarbonylamino-4-carboxy-butyrylamino)-3-methyl-butyrylamino]-4-oxo-5-(2-phenyl-ethanesulfonylamino)-pentanoic acid;

5 3-{2-[4-Carboxy-2-(3-phenyl-propionylamino)-butyrylamino]-3-methyl-butyrylamino}-4-oxo-5-(2-phenyl-ethanesulfonylamino)-pentanoic acid;

3-[2-(2-Benzoyloxycarbonylamino-3-methyl-butyrylamino)-propionylamino]-5-(7,7-dimethyl-2-oxo-bicyclo[2.2.1]hept-1-ylmethanesulfonylamino)-4-oxo-pentanoic acid;

10 3-[2-(2-Benzoyloxycarbonylamino-4-carboxy-butyrylamino)-3-methyl-butyrylamino]-5-(7,7-dimethyl-2-oxo-bicyclo[2.2.1]hept-1-ylmethanesulfonylamino)-4-oxo-pentanoic acid;

15 3-{2-[4-Carboxy-2-(3-phenyl-propionylamino)-butyrylamino]-3-methyl-butyrylamino}-5-(7,7-dimethyl-2-oxo-bicyclo[2.2.1]hept-1-ylmethanesulfonylamino)-4-oxo-pentanoic acid;

3-(2-{2-[2-Acetylamino-3-(4-hydroxy-phenyl)-propionylamino]-4-carboxy-butyrylamino}-3-methyl-butyrylamino)-5-(7,7-dimethyl-2-oxo-bicyclo[2.2.1]hept-1-ylmethanesulfonylamino)-4-oxo-pentanoic acid; and

20 3-(2-{2-[2-Acetylamino-3-(4-hydroxy-phenyl)-propionylamino]-4-carboxy-butyrylamino}-3-methyl-butyrylamino)-4-oxo-5-(2-phenyl-ethanesulfonylamino)-pentanoic acid.